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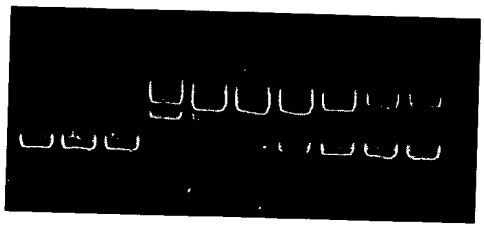

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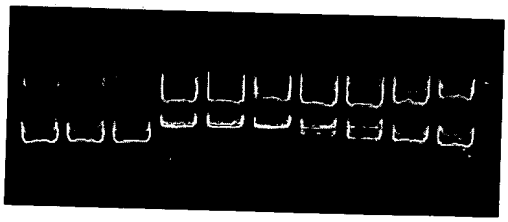

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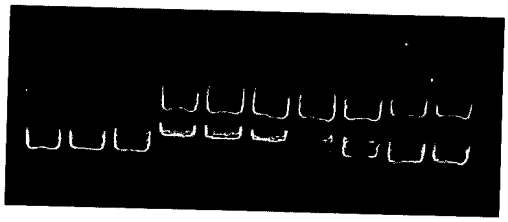

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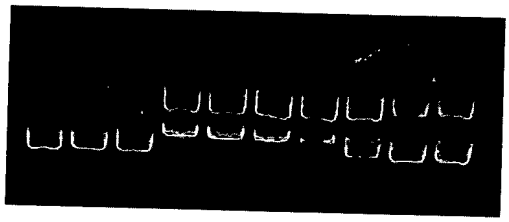

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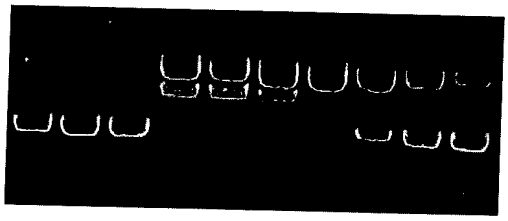

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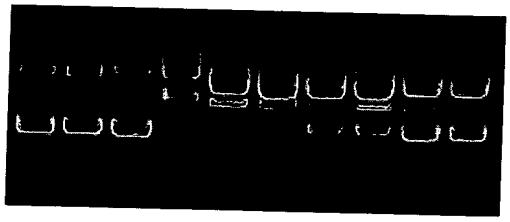

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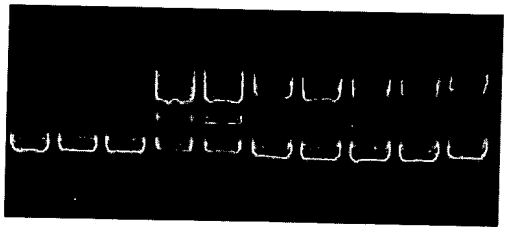

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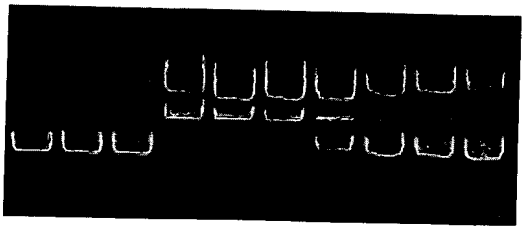

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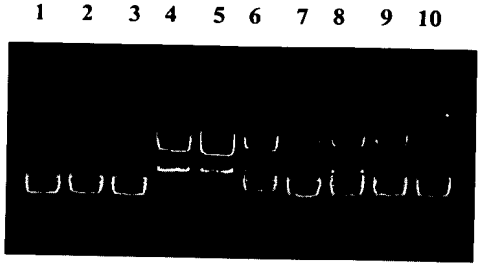

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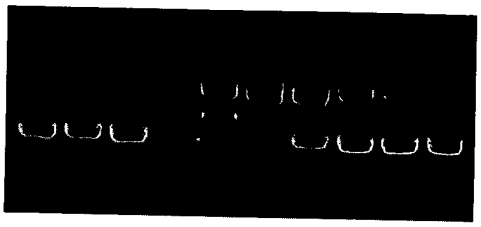

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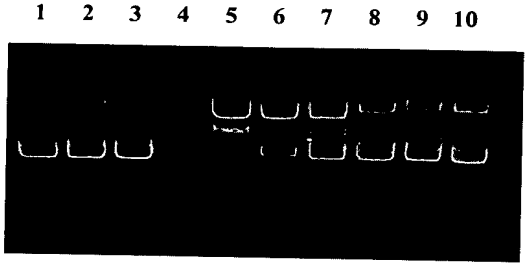

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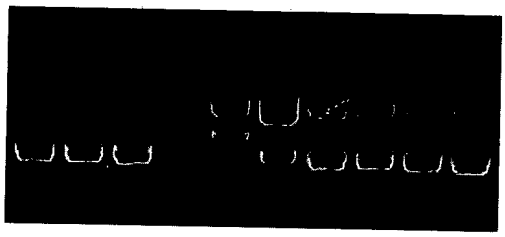

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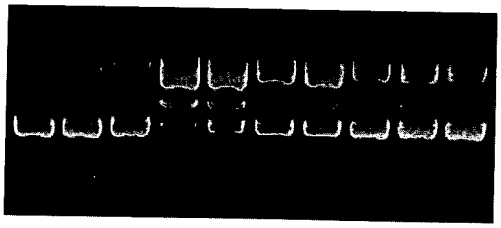

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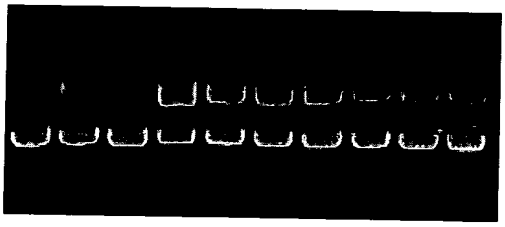

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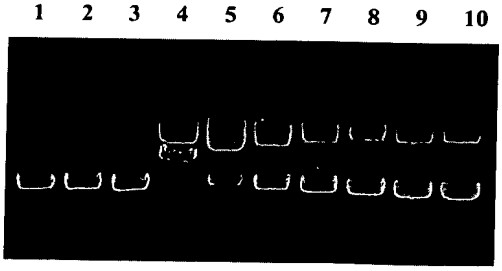

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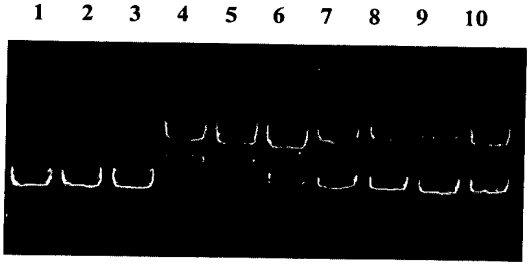

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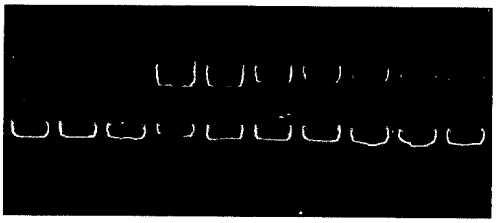

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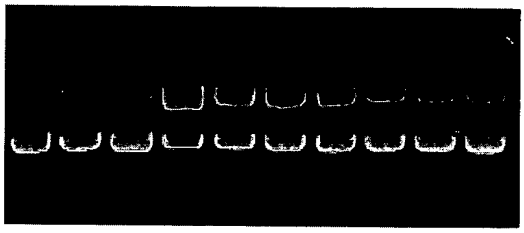

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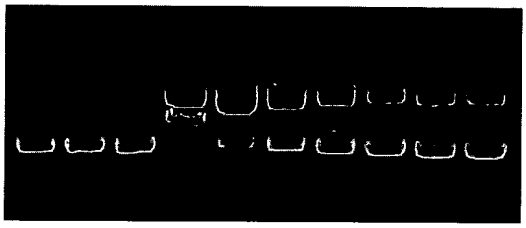

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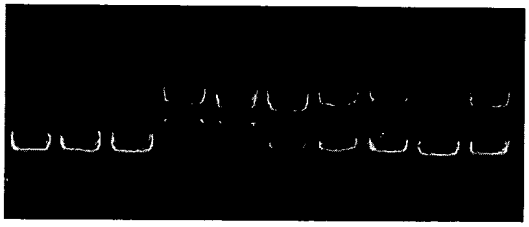

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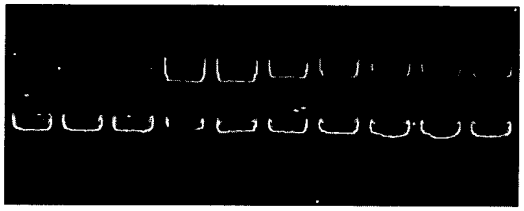

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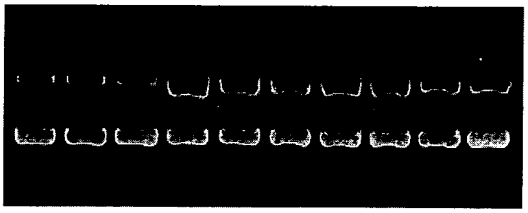

34

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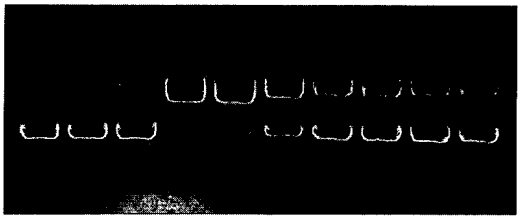

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1 2 3 4 5 6 7 8 9 10

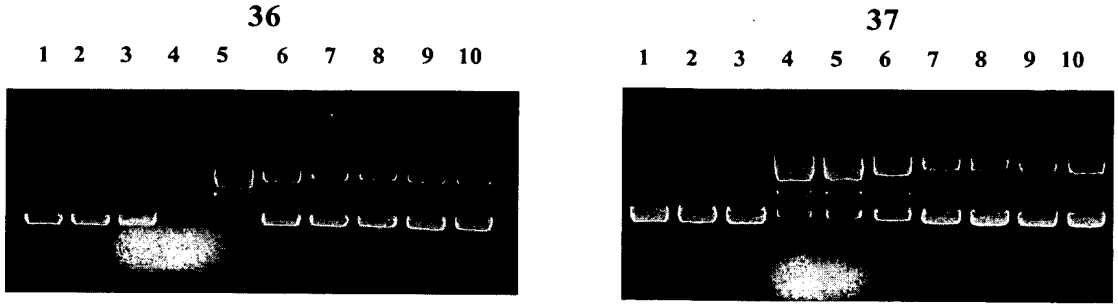


Figure 1 illustrates the photocleavage of supercoiled pGBK by β-carboline derivatives.

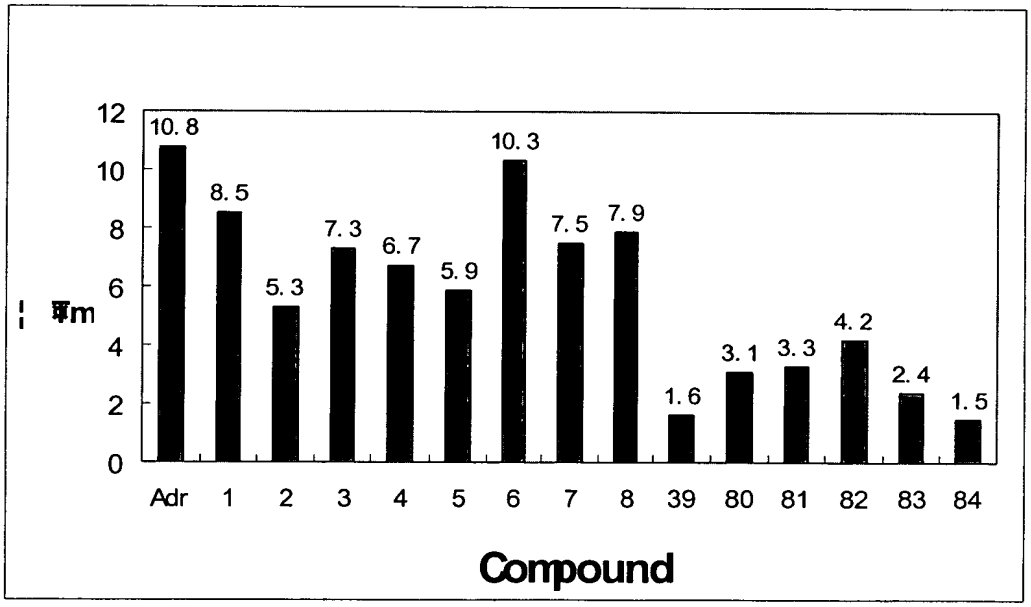


Figure 2 illustrates the effect of binding by β-carboline derivatives on the thermal stability of the CT-DNA.

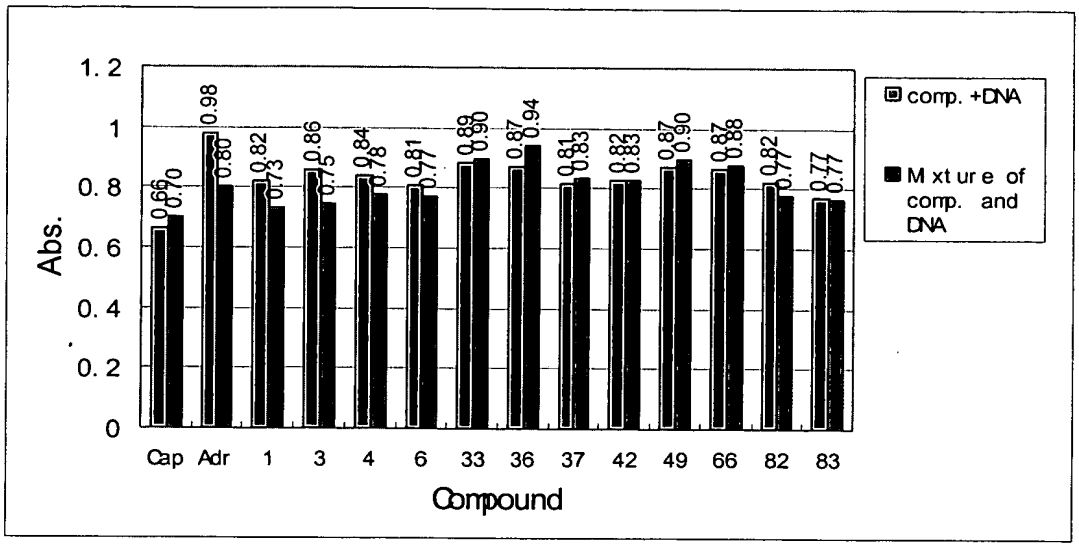
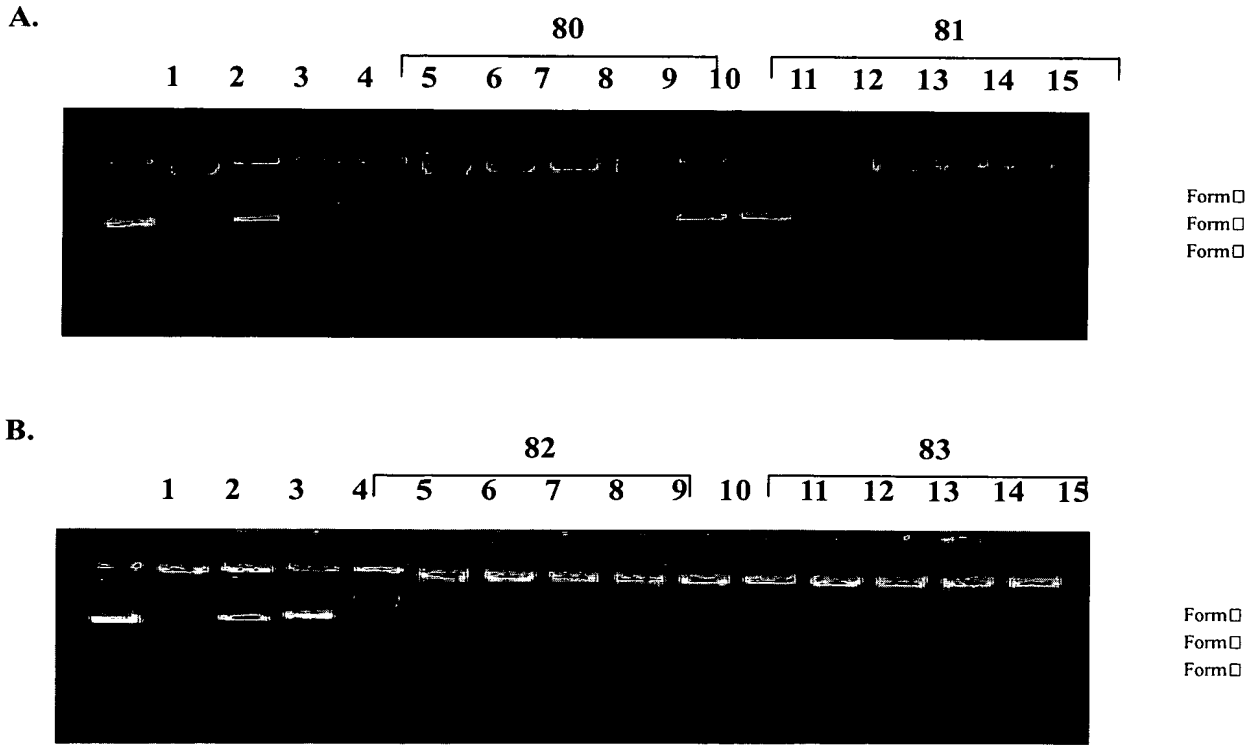
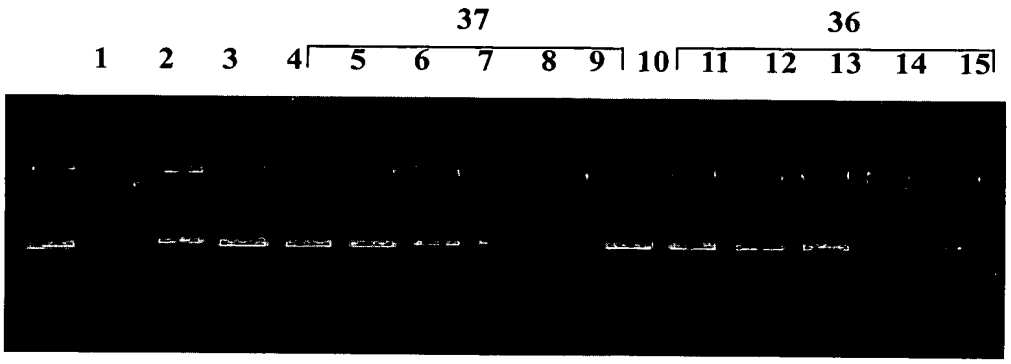


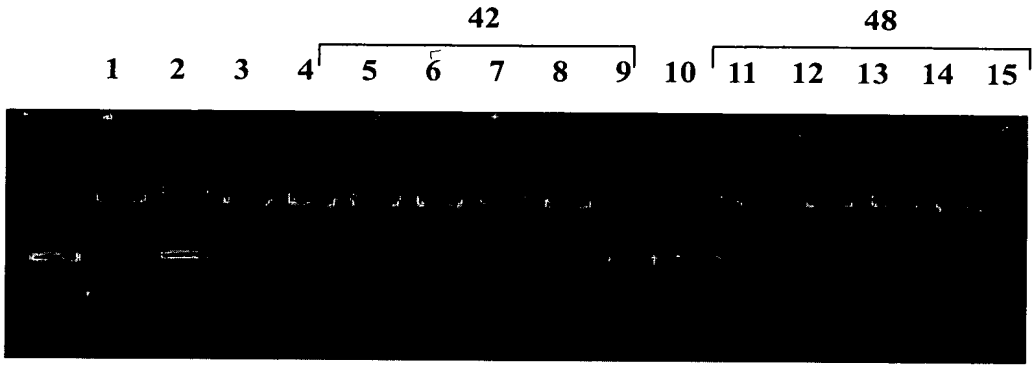
Figure 3 illustrates the effect of absorbance by β-carboline derivatives on the UV spectrum of the CT-DNA.



C.



D.



E.

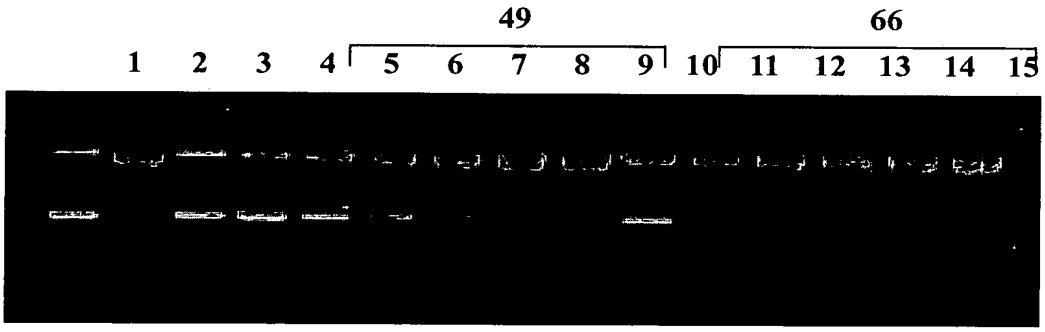


Figure 4 illustrates the effect of β-carboline derivatives on the activity of DNA topoisomerase I in a cell free system.

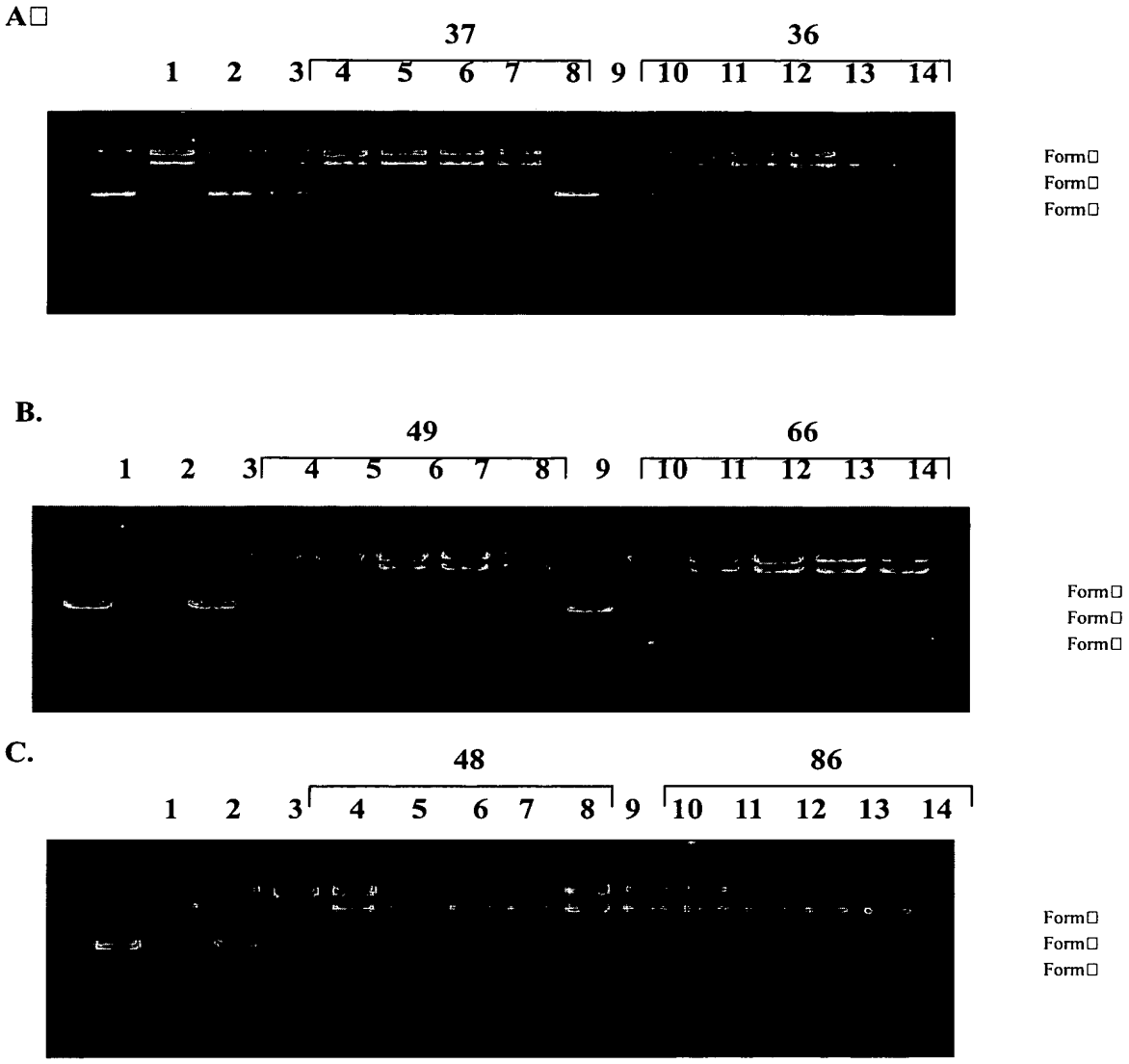
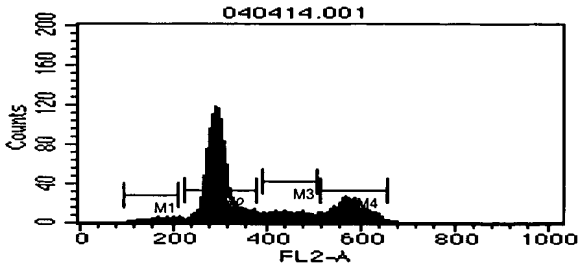


Figure 5 illustrates the effect of β-carboline derivatives on the activity of DNA topoisomerase II in a cell free system.

A. control

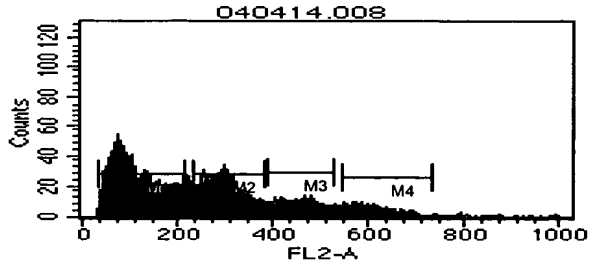


Histogram Statistics

File: 040414.001

Marker	% Gated	Peak Ch
All	100.00	285
M1	2.59	166
M2	66.62	285
M3	9.62	411
M4	18.86	561

B. 40ug/ml 48hr

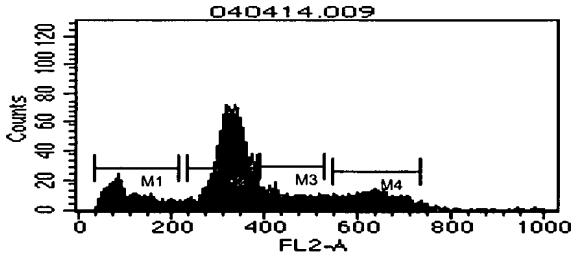


Histogram Statistics

File: 040414.008

Marker	% Gated	Peak Ch
All	100.00	74
M1	49.67	74
M2	28.83	296
M3	10.29	463
M4	6.22	565

C. 10ug/ml 48hr

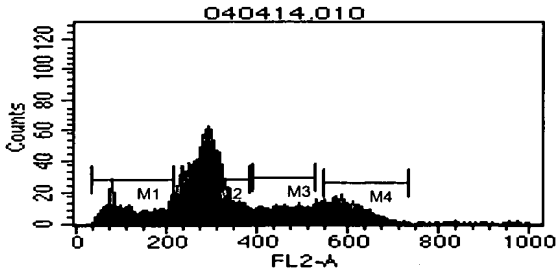


Histogram Statistics

File: 040414.009

Marker	% Gated	Peak Ch
All	100.00	318
M1	14.84	85
M2	56.41	318
M3	10.53	418
M4	14.95	629

D. 2.5ug/ml 48hr



Histogram Statistics

File: 040414.010

Marker	% Gated	Peak Ch
All	100.00	288
M1	15.80	79
M2	51.80	288
M3	13.02	524
M4	12.30	581

Figure 6 illustrates the FCM analysis of apoptosis of HepG2 cells induced by β□carboline derivative (Compound 60).

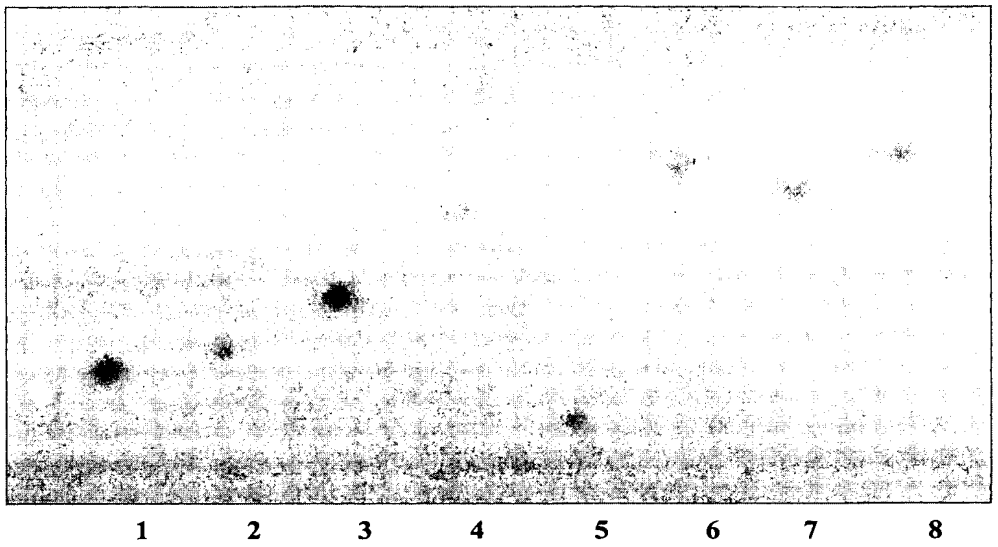


Figure 7 illustrates the TLC of harmine and 1,7,9-trisubstituted-β-carboline derivatives,

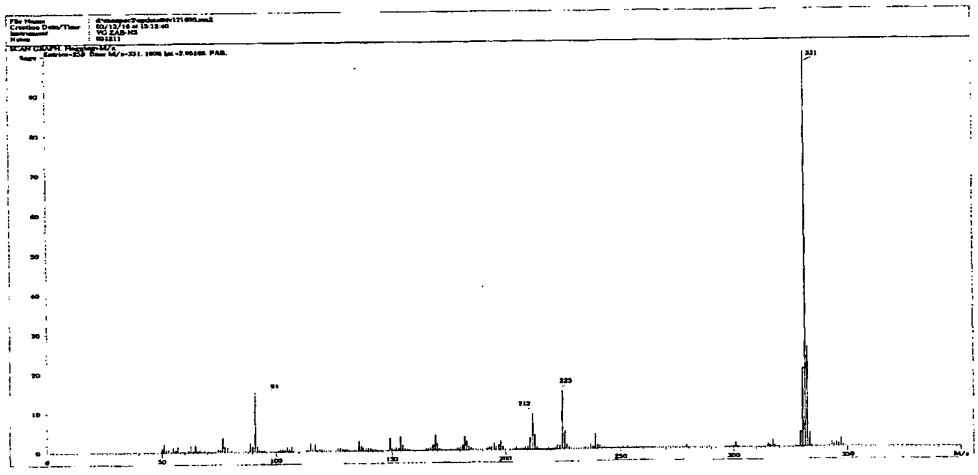


Figure 8 illustrates the FAB-MS spectrum of 9-phenylpropyl-7-methoxy-1-methyl-β-carboline.

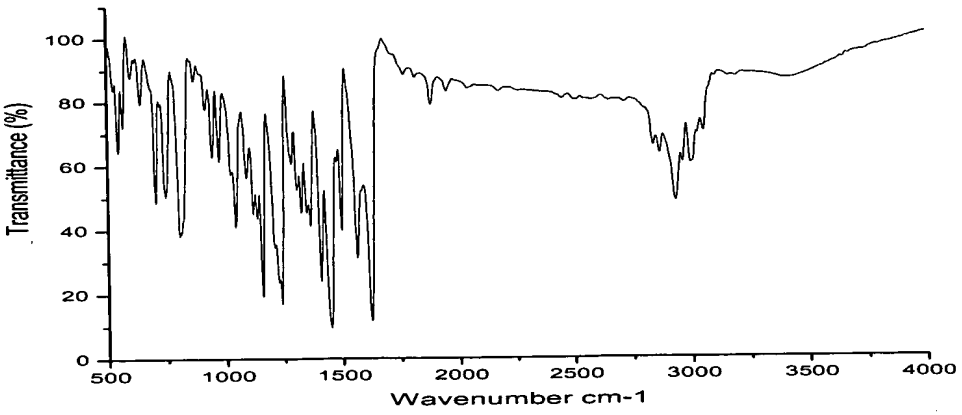


Figure 9 illustrates the IR spectrum of 9-phenylpropyl-7-methoxy-1-methyl-β-carboline.

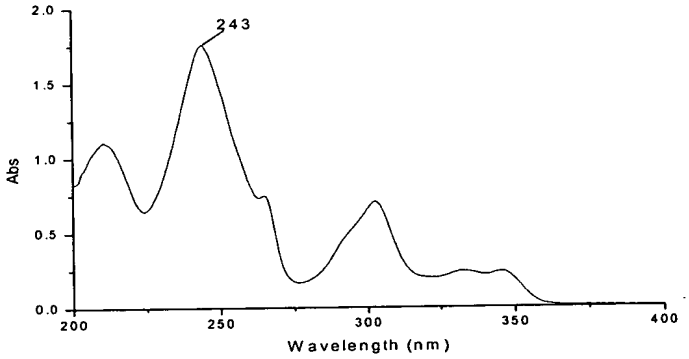
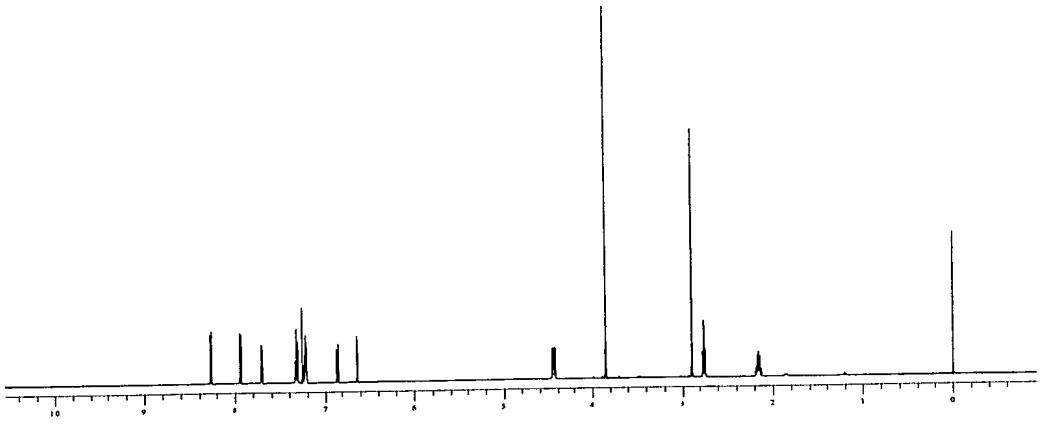


Figure 10 illustrates the UV spectrum of 9-phenylpropyl -7-methoxy-1-methyl-β-carboline.



ppm

Figure 11 illustrates the ^{1}H- NMR spectrum of 9-phenylpropyl -7-methoxy-1-methyl-β-carboline.

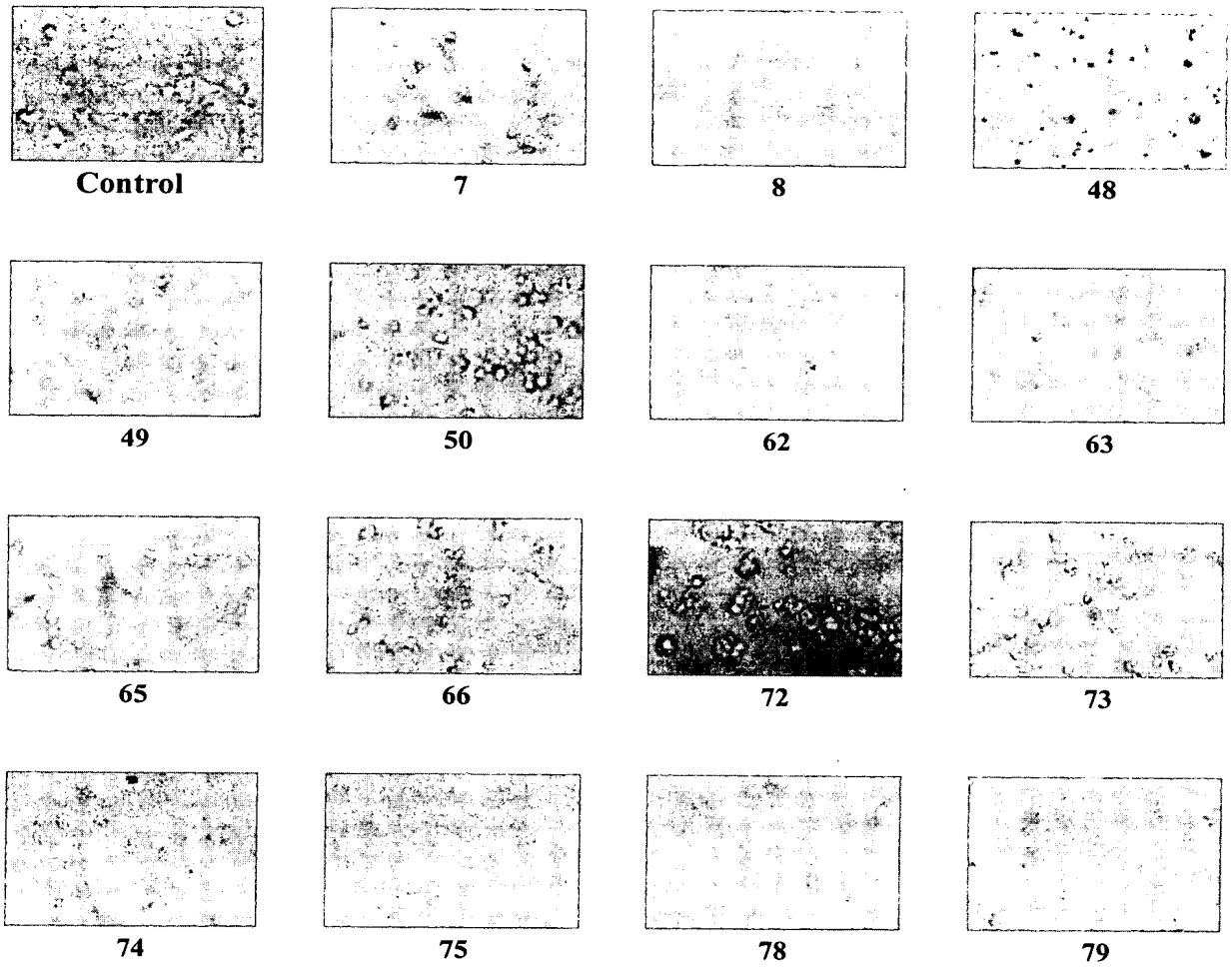
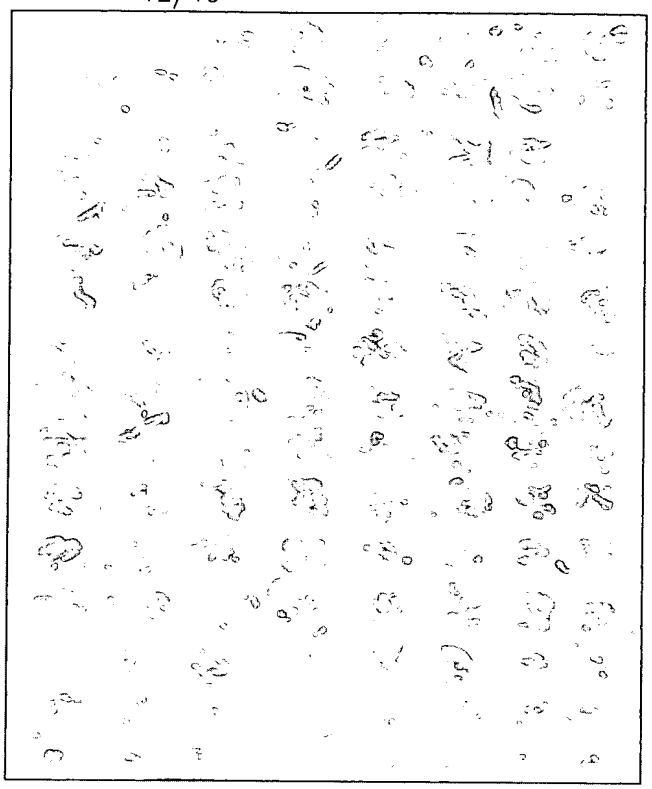
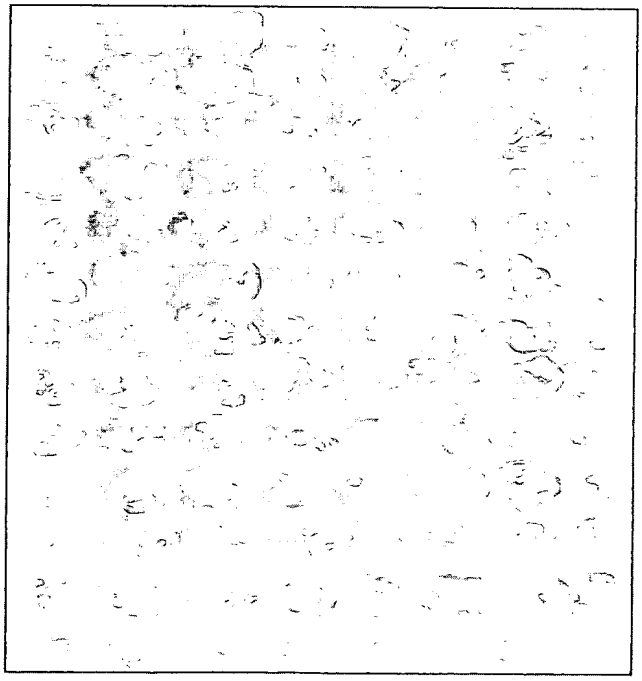


Figure 12 illustrates the photomicrographs of β-carboline derivatives to human tumor cell HepG2.



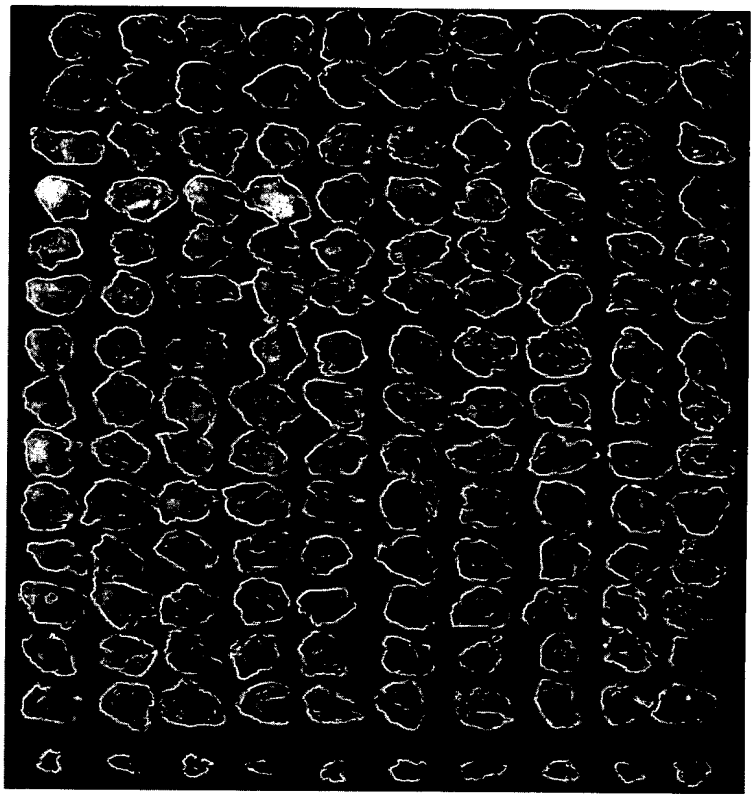
Negative control (vehicle)
Negative control (vehicle)
Compound **42** 100mg/kg
Compound **42** 50mg/kg
Compound **36** 100mg/kg
Compound **36** 50mg/kg
Compound **16** 100mg/kg
Compound **16** 50mg/kg
Compound **48** 100mg/kg
Compound **48** 50mg/kg
Compound **86** 20mg/kg
Compound **86** 10mg/kg
Compound **33** 100mg/kg
Compound **33** 50mg/kg
positive control
CTX 50mg/kg



Negative control (vehicle)
Negative control (vehicle)
Compound **37** 50mg/kg
Compound **37** 25mg/kg
Compound **55** 100mg/kg
Compound **55** 50mg/kg
Compound **84** 100mg/kg
Compound **84** 50mg/kg
Compound **11** 50mg/kg
Compound **11** 25mg/kg
Compound **33**100mg/kg
Compound **33** 50mg/kg
positive control
CTX 50mg/kg

Figure 13 illustrates the anti-tumor effect of β-carboline derivatives on Lewis lung cancer.

Negative control (vehicle)
Negative control (vehicle)
Compound **42** 100mg/kg
Compound **42** 50mg/kg
Compound **36** 100mg/kg
Compound **36** 50mg/kg
Compound **16** 100mg/kg
Compound **16** 50mg/kg
Compound **48** 100mg/kg
Compound **48** 50mg/kg
Compound **86** 20mg/kg
Compound **86** 10mg/kg
Compound **33** 100mg/kg
Compound **33** 50mg/kg
positive control
CTX 50mg/kg



Negative control (vehicle)
Negative control (vehicle)
Compound **37** 50mg/kg
Compound **37** 25mg/kg
Compound **55** 100mg/kg
Compound **55** 50mg/kg
Compound **84** 100mg/kg
Compound **84** 50mg/kg
Compound **11** 50mg/kg
Compound **11** 25mg/kg
Compound **33** 100mg/kg
Compound **33** 50mg/kg
positive control
CTX 50mg/kg

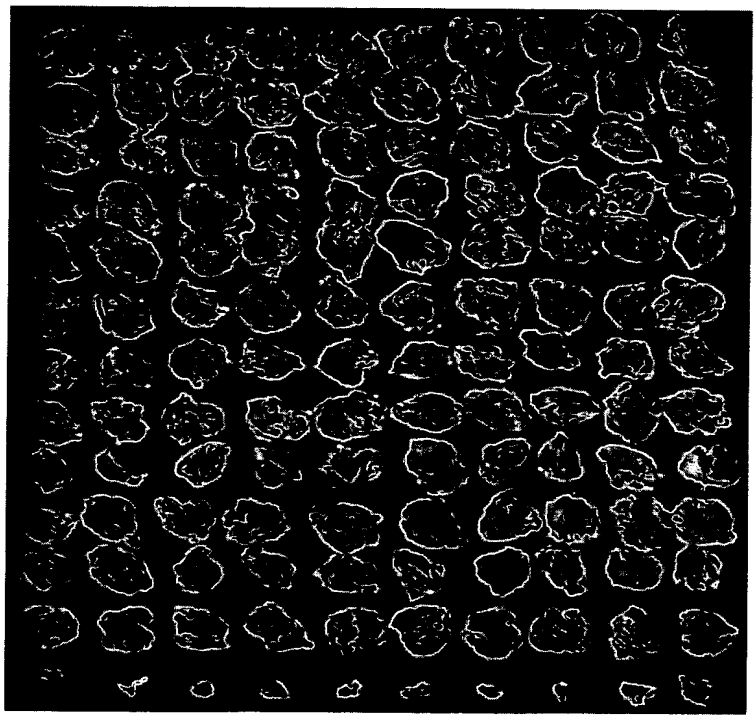
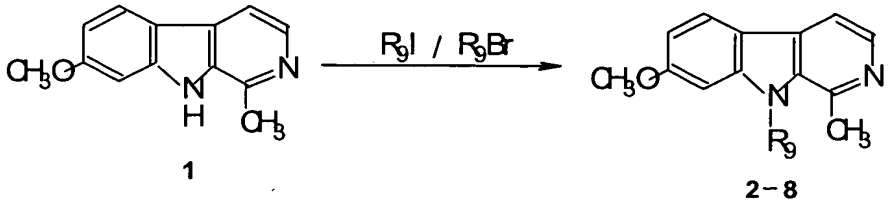
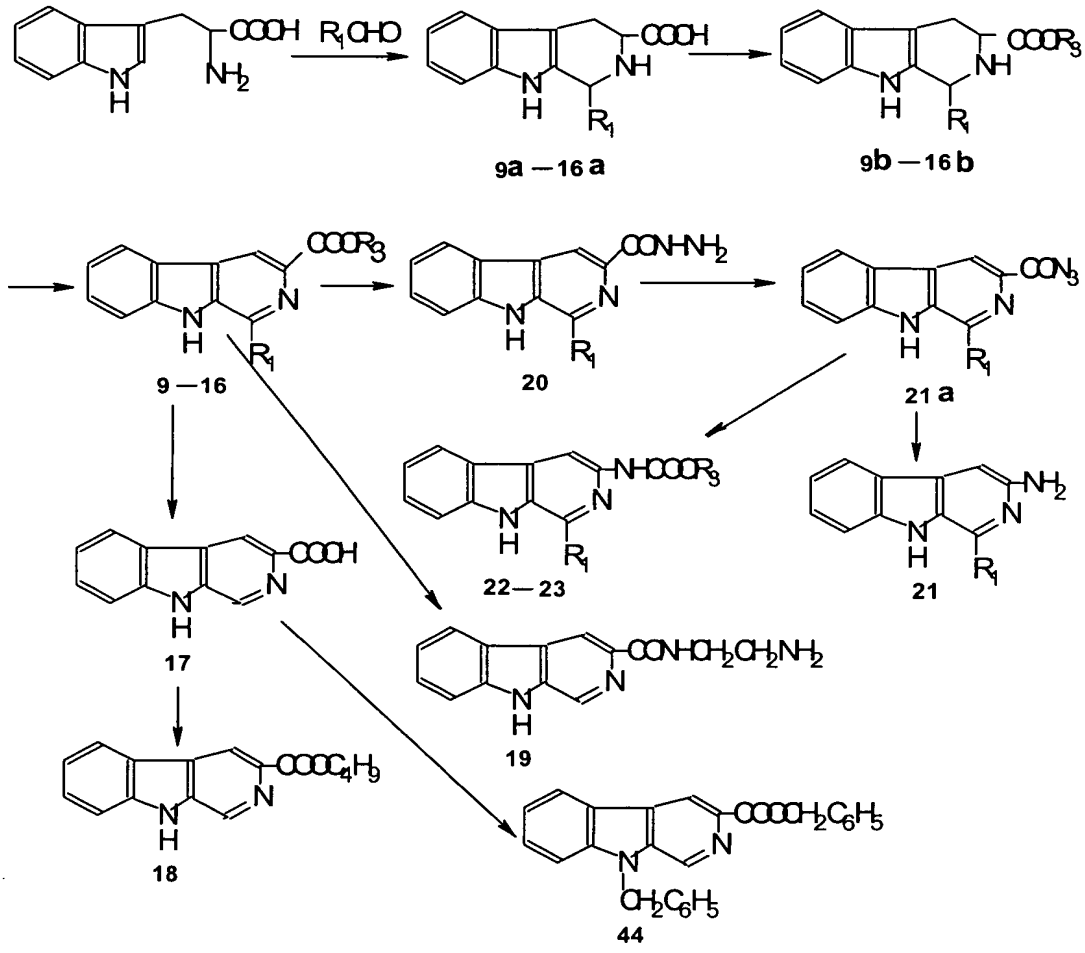


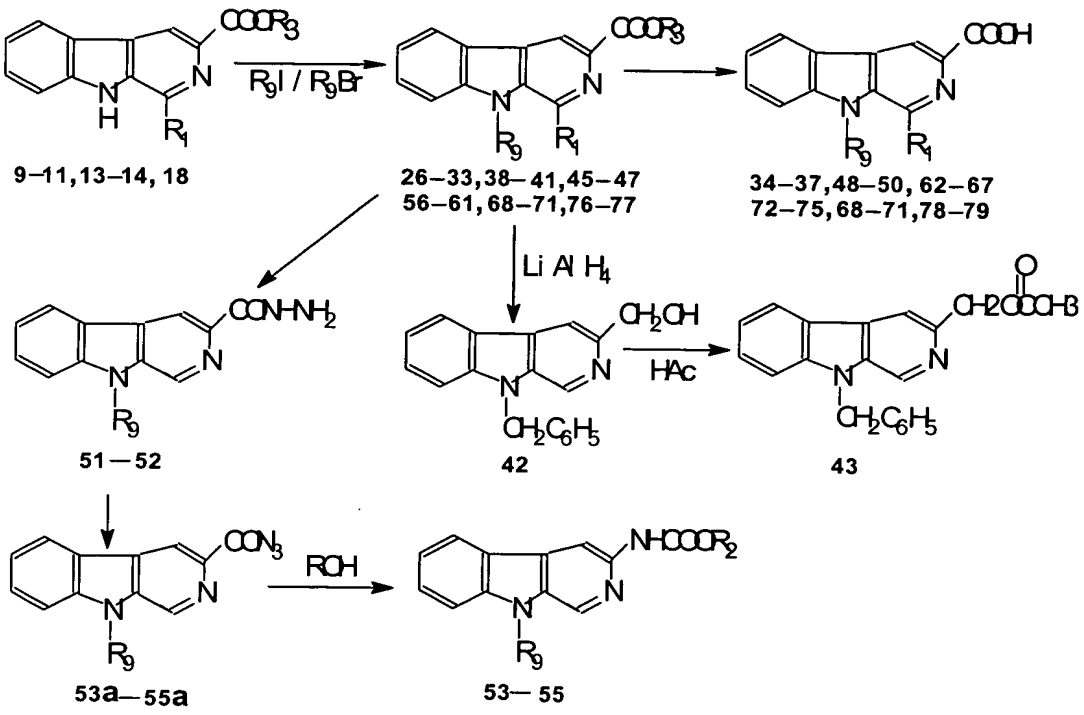
Figure 14 illustrates the anti-tumor effect of β-carboline derivatives on S180 sarcoma.

Synthesis Scheme I



Synthesis Scheme II





Synthesis Scheme III

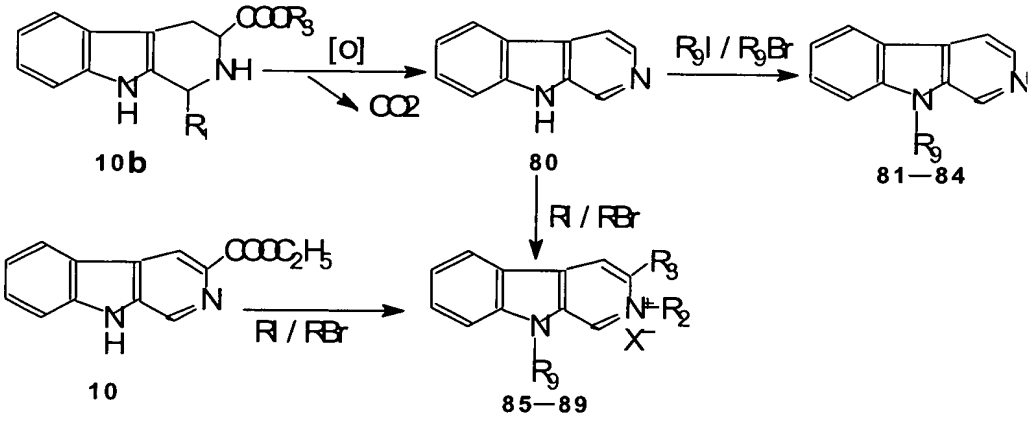


Figure 15 illustrates the synthetic routes of the research of the modification to the structures of β-carboline derivatives.